

CLAIMS

1. A pharmaceutical agent having serotonin 5-HT₇ receptor antagonist activity and muscarinic M₄ receptor agonist activity, for use in treating psychotic conditions, the agent does not include compounds having a chemical structure falling within the following definition, namely:

bisarylazepines substituted at the azepine ring portion by a 4-methyl piperazinyl, wherein the aryl moieties are fused to the azepine ring and wherein aryl is phenyl, substituted phenyl, thienyl or substituted thienyl; including optional replacement of an azepine ring carbon atom with a nitrogen atom, or substitution of said ring carbon atom.

2. The pharmaceutical agent according to claim 1 wherein the psychotic condition is schizophrenia and/or bipolar disorder.

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3. The pharmaceutical agent according to claim 1 or claim 2 which comprises a mixture of at least two compounds, wherein at least one of said compounds possess serotonin 5-HT₇ receptor antagonist activity and wherein at least one of said compounds possess muscarinic M₄ receptor agonist activity.

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4. The pharmaceutical agent according to claim 1 or claim 2 which comprises a compound which possess both serotonin 5-HT₇ receptor antagonist activity and muscarinic M₄ receptor agonist activity.

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5. The pharmaceutical agent according to any one of claims 1 to 4 which additionally has a low or substantially no dopaminergic D₂ receptor affinity.
- 5 6. The pharmaceutical agent according to claim 5 wherein said dopaminergic D₂ receptor affinity is a minimum of at least 5 fold less than the affinity at the muscarinic M₄ and/or serotonin 5-HT₇ receptors.
- 10 7. The pharmaceutical agent according to claim 6 wherein said dopaminergic D₂ receptor affinity is at least 50 fold less than the affinity at the muscarinic M₄ and/or serotonin 5-HT₇ receptors.
- 15 8. A pharmaceutical agent according to any one of claims 1 to 7 for use in therapy.
9. A pharmaceutical formulation comprising a pharmaceutical agent according to any one of claims 1 to
20 7 together with a pharmaceutically acceptable carrier therefor.
10. Use of a pharmaceutical agent according to any one of claims 1 to 7 for the preparation of a medicament for
25 the treatment or prophylaxis of schizophrenia and/or bipolar disorder.
11. A method of treating psychotic conditions in a patient in need thereof, comprising administering to the
30 patient an effective amount of a pharmaceutical agent according to any one of claims 1 to 7.

12. A method of identifying an agent having the properties according to the present invention comprising the steps of:

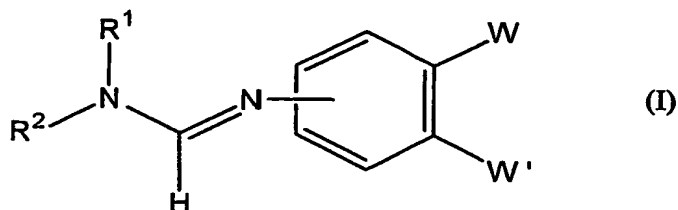
a) providing an agent to be tested;

5 b) subjecting said agent to one or more test procedures to identify 5-HT₇ receptor antagonist activity and muscarinic M₄ receptor agonist activity of said agent;

10 wherein the desired agent is considered to have been identified when said agent provides a 5-HT₇ receptor antagonist activity and a muscarinic M₄ receptor agonist activity.

13. The method according to claim 12 further comprising
15 the step of subjecting the agent to a test procedure to identify low dopaminergic D₂ receptor affinity.

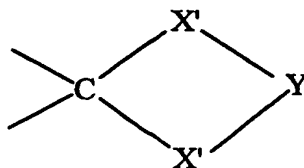
14. A compound represented by formula (I):



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where R¹ and R² independently are a hydrogen atom, a substituted or unsubstituted straight chain or branched chain C₁₋₆ alkyl group or C₁₋₆ alkoxy group, a substituted
25 or unsubstituted C₃₋₈ cycloalkyl group or a C₃₋₈ cycloalkoxy group, or an aralkyl group, or R¹ and R² form, together with the nitrogen atom to which they are bonded, a cyclic amine; W and W' form, together with the benzene ring to which they are bonded, a fused five-membered,
30 six-membered or seven-membered saturated carbocyclic ring being independently unsubstituted, substituted or fully

substituted at each carbon atom of the ring by a group -
 $X-R^{13}$ where X is O, S, SO or SO_2 and R^{13} is a hydrogen
 atom, a C_{1-6} alkyl group, an acyl group, or an aroyl group
 or two of said $-X-R^{13}$ groups, together with the carbon
 atom in the ring to which they are both bonded, form a
 5 C=S group or the following group:



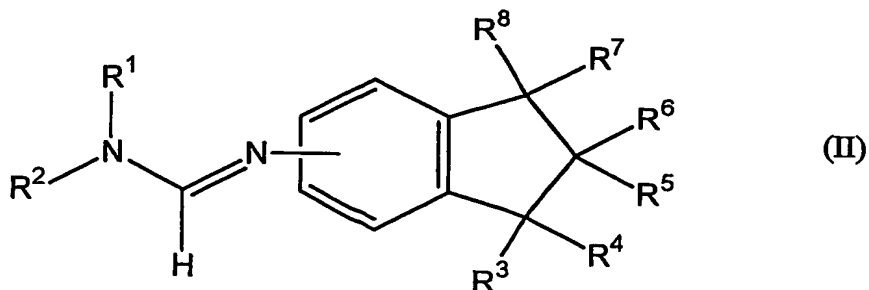
10 where both of X' are O or S and Y is a C_{1-3} alkylene group.

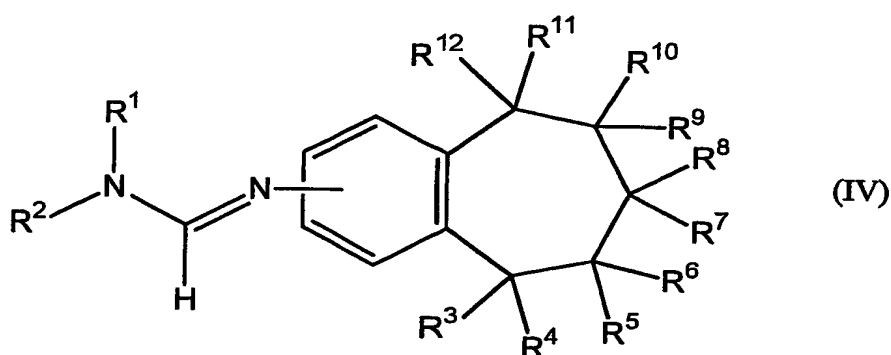
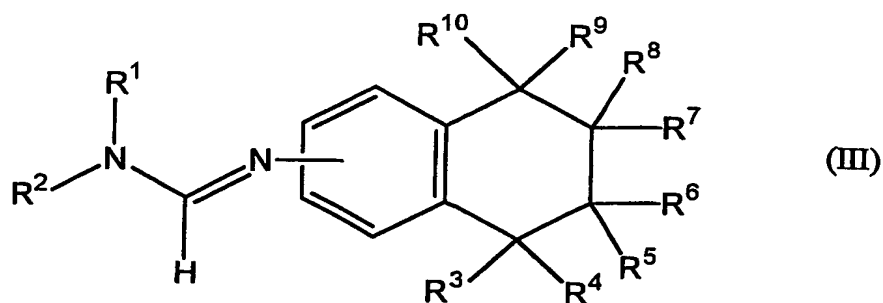
15 15. A compound according to claim 14, wherein said cyclic amine is substituted by a halogen atom, a C_{1-6} alkyl group or a C_{1-6} alkoxy group.

16. A compound according to claim 14 or claim 15 wherein said cyclic amine is fused with a benzene ring.

20 17. A compound according to claim 16 wherein said benzene ring is substituted by one or two halogen atoms, C_{1-6} alkyl groups or C_{1-6} alkoxy groups.

25 18. A compound according to claim 14 represented by the following formulae (II), (III) and (IV):

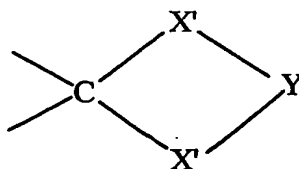




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wherein R^1 and R^2 independently are a hydrogen atom, a substituted or unsubstituted straight chain or branched chain C_{1-6} alkyl group or C_{1-6} alkoxy group, a substituted or unsubstituted C_{1-6} cycloalkyl group or a C_{1-6} cycloalkoxy group, or an aralkyl group, or R^1 and R^2 form, together with the nitrogen atom to which they are bonded, a cyclic amine; R^3 , R^4 , R^5 , R^6 , R^7 , R^8 , R^9 , R^{10} , R^{11} , and R^{12} are independently a hydrogen atom or the group $-X-R^{13}$ wherein X is O, S, SO or SO_2 and R^{13} is a hydrogen atom, a C_{1-6} alkyl group, an acyl group, or an aroyl group.

19. A compound according to claim 16 wherein R^3 and R^4 , R^5 and R^6 , R^7 and R^8 , R^9 and R^{10} , and/or R^{11} and R^{12} together with the carbon atom in the ring to which they are both bonded, form a C=S group or the following group:

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wherein both of X' are O or S and Y is a C₁₋₃ alkylene group.

- 5 20. A compound according to claim 18 or claim 19 wherein R¹ and R² form together with the nitrogen atom to which they are bonded, a four-membered, five-membered or six-membered cyclic amine.
- 10 21. A compound according to claim 20 wherein said six-membered cyclic amine is fused with a benzene ring.
22. A compound according to claim 18 wherein R¹ and R² are a C₁₋₆ alkyl group.
- 15 23. A compound according to any one of claims 14 to 22 which possesses serotonin 5-HT₇ receptor antagonist activity and/or muscarinic M₄ receptor agonist activity.
- 20 24. A compound according to claim 23 which additionally has a low or substantially no dopaminergic D₂ receptor affinity.
- 25 25. A compound according to any one of claims 14 to 24 for use in therapy.
26. A pharmaceutical formulation comprising a compound according to any one of claims 14 to 24 admixed with a pharmaceutically acceptable carrier.

27. Use of a compound according to any one of claims 14 to 24 for the preparation of a medicament for the treatment or prophylaxis of schizophrenia and/or bipolar disorder.

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28. A method of treating psychotic conditions in a patient in need thereof, comprising administering to the patient an effective amount of a compound according to any one of claims 14 to 24.